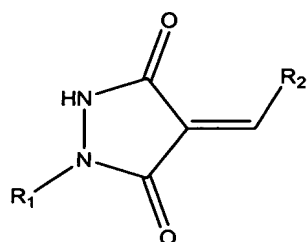


Claims

1. The use of pyrazolidinedione derivatives of the
5 general formula



(I)

wherein

- 10 R₁ is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl or alkanoyl; and
R₂ is aryl or heteroaryl;
tautomers thereof;
geometric isomers thereof and tautomers of these geometric
15 isomers, including mixtures of individual compounds of formula (I), or tautomers thereof, and their geometric isomers, or tautomers thereof;
pharmaceutically acceptable acid addition salts of compounds which are basic;
20 pharmaceutically acceptable salts of compounds containing acidic groups with bases;
pharmaceutically acceptable esters of compounds containing hydroxy or carboxy groups;
prodrugs of compounds in which a prodrug forming group is
25 present; as well as hydrates or solvates thereof;
as platelet adenosine diphosphate receptor antagonists for the prevention and/or treatment of peripheral vascular, of visceral-, hepatic- and renal-vascular, of cardiovascular and of cerebrovascular diseases or conditions associated with

platelet aggregation and, respectively, for the manufacture of corresponding medicaments.

2. The use according to claim 1 wherein R_1 is other than
5 alkanoyl.

3. The use according to claim 1 or 2 wherein the disease or condition is thrombosis.

10 4. The use according to claim 1 or 3 wherein R_1 is hydrogen, alkyl, aryl, heteroaryl or alkanoyl.

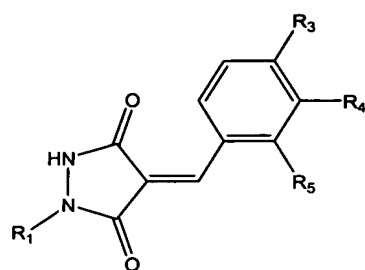
5. The use according to claim 4 wherein R_1 is hydrogen, alkyl, phenyl, bromophenyl, chlorophenyl, fluorophenyl,
15 methylphenyl, methoxyphenyl, cyanophenyl, alkoxycarbonylphenyl, pyridinyl or alkanoyl.

6. The use according to claim 5 wherein R_1 is hydrogen, methyl, phenyl, 2-pyridinyl, 4-pyridinyl, 2-methylphenyl, 4-
20 methylphenyl, 4-methoxyphenyl, 2-chlorophenyl, 4-fluorophenyl, 4-bromophenyl, 4-cyanophenyl, 4-ethoxycarbonylphenyl or acetyl.

7. The use according to any one of claims 1 to 6 wherein R_2 is naphthalenyl, thienyl or pyridyl.
25

8. The use according to claim 7 wherein R_2 is naphthalen-2-yl, pyridin-3-yl or thiophen-3-yl.

9. The use according to any one of claims 1 to 3 of
30 compounds of the general formula



(III)

including their geometric isomers and tautomers and mixtures thereof as well as their salts, esters and prodrugs mentioned
5 in claim 1, wherein

R₁ is as defined in any one of claims 1,2 and 4 to 6;

R₃ is hydrogen, alkyl, alkenyl, alkynyl, alkoxy, hydroxyalkoxy, alkoxyalkoxy, alkenyloxy, cycloalkoxy, cycloalkylalkoxy or alkylsulfonyloxy;

10 R₄ is hydrogen, halogen, hydroxy, alkyl or alkoxy; and

R₅ is hydrogen, halogen, hydroxy, alkyl, alkoxy, alkoxyalkoxy, hydroxyalkoxy, dihydroxyalkoxy, alkanoyloxyalkoxy, carboxyalkoxy, carboxy-hydroxyalkoxy, carboxy-dihydroxyalkoxy, alkoxycarbonylalkoxy, alkoxycarbonyl-hydroxyalkoxy,
15 alkoxycarbonyl-dihydroxyalkoxy, carbamoylalkoxy, N-alkylcarbamoylalkoxy, N,N-dialkylaminolalkoxy, morpholin-4-ylalkoxy, piperidin-1-ylalkoxy, morpholin-4-ylcarbonylalkoxy, 2,2-dialkyl[1,3]dioxolan-4-ylalkoxy or 2,2-dialkyl-4-carboxy[1,3]dioxolan-5-ylalkoxy; or

20 R₄ und R₅, together with the phenyl ring to which they are attached, form a fused, optionally substituted carbocyclic or heterocyclic ring system.

10. The use according to claim 9 wherein R₁ is other than
25 alkanoyl;

R₃ is hydrogen, alkyl, alkenyl, alkynyl, alkoxy, hydroxyalkoxy, alkoxyalkoxy, alkenyloxy, cycloalkoxy or cycloalkylalkoxy; and R₄ and R₅, each independently of the other, are hydrogen, halogen, hydroxy, alkyl or alkoxy; or

R₄ und R₅, together with the phenyl ring to which they are attached, form a fused, optionally substituted carbocyclic or heterocyclic ring system.

5 11. The use according to claim 9 or 10 wherein R₃ is alkyl, alkenyl, alkynyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, hydroxyalkoxy or alkoxyalkoxy and R₄ and R₅ both are hydrogen, or R₄ is halogen, alkyl or alkoxy and R₅ is hydrogen, or R₄ and R₅ each independently are alkyl or alkoxy.

10 12. The use according to claim 11 wherein R₃ is methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *tert*-butyl, pentyl, hexyl, but-1-enyl, pent-1-enyl, but-1-ynyl, pent-1-ynyl, methoxy, ethoxy, propoxy, butoxy, *iso*-butoxy, 3-methyl-
15 butoxy, pentyloxy, cyclopentyloxy, hexyloxy, cyclopropylmethoxy, cyclobutylmethoxy, 2-hydroxy-ethoxy, 2-methoxy-ethoxy, and R₄ and R₅ both are hydrogen or R₄ is chloro, bromo, methyl or methoxy and R₅ is hydrogen, or R₄ and R₅ each independently are methyl or methoxy.

20 13. The use according to claim 9 or 10 wherein R₃ is hydrogen or alkoxy and R₄ and R₅ together with the phenyl ring to which they are attached, form an optionally substituted naphthalene, tetrahydronaphthalene, indane, 1*H*-indene,
25 isoquinoline, dihydro-benzo[1,4]dioxine or benzo[1,3]dioxole moiety.

 14. The use according to claim 13 wherein R₃ is propoxy and R₄ and R₅ together with the phenyl ring to which they are
30 attached, form a naphthalene-1-yl, indan-4-yl, isoquinolin-5-yl, isoquinolin-8-yl, 1,2,3,4-tetrahydroisoquinolin-8-yl, 2-alkoxycarbonyl-1,2,3,4-tetrahydroisoquinolin-8-yl or 5,6,7,8-tetrahydronaphthalen-1-yl moiety.

35 15. The use according to claim 9 or 10 wherein R₃, R₄ and R₅ each are hydrogen; or

R₃ and R₅ each are hydrogen and R₄ is methoxy; or
R₃ and R₄ each are hydrogen and R₅ is methoxy; or
R₄ and R₅ each are hydrogen and R₃ is *tert*-butyl, ethoxy,
propoxy or butoxy; or

5 R₃ is hydrogen, R₄ is methoxy, and R₅ is hydroxy; or
R₄ is hydrogen, R₃ is methoxy or propoxy and R₅ is methoxy or
propoxy; or

R₅ is hydrogen, R₃ is methoxy, ethoxy, propoxy, butyloxy, *iso*-
butyloxy, pentyloxy, hexyloxy, 3-methylbutoxy, 2-hydroxyethoxy,
10 2-methoxyethoxy, cyclopropylmethoxy or cyclobutylmethoxy and R₄
is methyl, methoxy, chloro or bromo.

16. The use according to claim 9 or 10 wherein
R₃ is methoxy, propoxy, cyclopentyloxy, pent-1-ynyl or
15 ethanesulfonyloxy;

R₄ is methyl;

R₅ is hydroxy, methyl, pentyl, methoxy, propoxy, 2-
methoxyethoxy, 2-hydroxyethoxy, 3-hydroxypropoxy, 4-
hydroxybutoxy, 2,3-dihydroxypropoxy, 4-acetoxymethoxy,
20 carboxymethoxy, 3-carboxypropoxy, 4-carboxybutoxy, 3-carboxy-2-
hydroxypropoxy, 3-carboxy-2,3-dihydroxypropoxy,
ethoxycarbonylmethoxy, 3-ethoxycarbonylpropoxy, 4-
ethoxycarbonylbutoxy, 3-ethoxycarbonyl-2-hydroxypropoxy, 3-
ethoxycarbonyl-2,3-dihydroxypropoxy, carbamoylmethoxy 3-*N*-
25 ethylcarbamoylpropoxy, 4-*N*-ethylcarbamoylbutoxy, 2-*N,N*-
dimethylaminoethoxy, 3-*N,N*-dimethylaminopropoxy, 2-(morpholin-4-
yl)-ethoxy, 2-(piperidin-1-yl)-ethoxy, 3-(morpholin-4-yl)-
carbonylpropoxy, 4-(morpholin-4-yl)-carbonylbutoxy, 2,2-
dimethyl[1,3]dioxolan-4-ylmethoxy or 2,2-dimethyl-4-
30 carboxy[1,3]dioxolan-5-ylmethoxy; or

R₄ and R₅, together with the phenyl ring to which they are
attached, form a naphthalen-1-yl, 5,6,7,8-tetrahydronaphthalen-
1-yl, indan-4-yl, 1,2,3,4,-tetraisoquinolin-8-yl or 2-*tert*-
butoxycarbonyl-1,2,3,4,-tetraisoquinolin-8-yl moiety.

17. The use according to claim 1 or 3 of

4- (2,3-dimethyl-4-propoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (4-methoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (4-ethoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (4-ethoxy-3-methoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (2,4-dimethoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4-naphthalen-2-ylmethylen-1-phenyl-pyrazolidine-3,5-dione;

4- (4-tert-butyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (2,3-dimethyl-4-methoxybenzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (2,4-dimethoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (3-bromo-4-methoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

1-phenyl-4- (4-propoxy-benzylidene) -pyrazolidine-3,5-dione;

4- (4-butoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (4-ethoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (3-methyl-4-propoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (4-butoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (4-hexyloxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (3-methyl-4-pentyloxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- (4-cyclobutylmethoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

4- [3-methyl-4- (3-methyl-butoxy) -benzylidene] -1-phenyl-pyrazolidine-3,5-dione;

4- (4-isobutoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

- 4- [4- (2-methoxy-ethoxy) -3-methyl-benzylidene] -1-phenyl-
pyrazolidine-3,5-dione;
- 4- (3-chloro-4-propoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-
dione;
- 5 4- [4- (2-hydroxy-ethoxy) -3-methyl-benzylidene] -1-phenyl-
pyrazolidine-3,5-dione;
- 4- (4-cyclopropylmethoxy-3-methyl-benzylidene) -1-phenyl-
pyrazolidine-3,5-dione;
- 4- (4-cyclopentyloxy-2,3-dimethyl-benzylidene) -1-phenyl-
10 pyrazolidine-3,5-dione;
- 1-phenyl-4- (4-propoxy-5,6,7,8-tetrahydro-naphthalen-1-
ylmethylene) -pyrazolidine-3,5-dione;
- 4- (2,3-dimethyl-4-pent-1-ynyl-benzylidene) -1-phenyl-
pyrazolidine-3,5-dione;
- 15 4- (2,3-dimethyl-4-pentyl-benzylidene) -1-phenyl-pyrazolidine-
3,5-dione;
- 1-phenyl-4- (4-propoxy-naphthalen-1-ylmethylene) -pyrazolidine-
3,5-dione;
- 1-phenyl-4- [1- (7-propoxy-indan-4-yl) -methylidene] -pyrazolidine-
20 3,5-dione;
- 1-phenyl-4- [1- (5-propoxy-isoquinolin-8-yl) -methylidene] -
pyrazolidine-3,5-dione;
- 1-phenyl-4- [1- (8-propoxy-isoquinolin-5-yl) -methylidene] -
pyrazolidine-3,5-dione;
- 25 4- [1- (2-tert-butoxycarbonyl-5-propoxy-1,2,3,4-tetrahydro-
isoquinolin-8-yl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- (2,3-dimethyl-4-ethanesulfonyloxy-benzylidene) -1-phenyl-
pyrazolidine-3,5-dione;
- 4- [1- (2,4-dipropoxy-phenyl) -methylidene] -1-phenyl-pyrazolidine-
30 3,5-dione;
- 4- [1- (2,6-dipropoxy-pyridin-3-yl) -methylidene] -1-phenyl-
pyrazolidine-3,5-dione;
- 4- [1- (2-hydroxy-3-methyl-4-propoxy-phenyl) -methylidene] -1-
phenyl-pyrazolidine-3,5-dione;

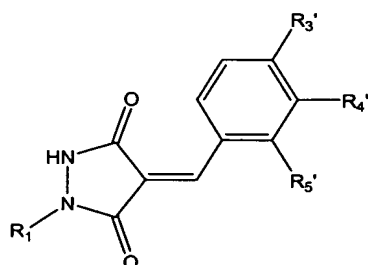
- 4- [1- (2-methoxy-3-methyl-4-propoxy-phenyl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- (3-methyl-2,4-dipropoxy-phenyl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 5 4- [1- [2- (2-methoxy-ethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- [2- (2-hydroxy-ethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- [2- (3-hydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 10 4- [1- [2- (4-acetoxy-butoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- [2- (4-hydroxy-butoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 15 4- [1- [2- (ethoxycarbonyl-methoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- [2- (carboxy-methoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- [2- (2-amino-2-oxo-ethyloxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 20 4- [1- [2- (3-ethoxycarbonyl-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- [2- (3-carboxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 25 4- [1- [2- (4-ethylamino-4-oxo-butoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- [3-methyl-2- (4-morpholin-4-yl-4-oxo-butoxy) -4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- [2- (4-ethoxycarbonyl-butoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 30 4- [1- [2- (4-carboxy-butyloxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
- 4- [1- [2- (5-ethylamino-5-oxo-pentyloxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;

4- [1- [3-methyl-2- (5-morpholin-4-yl-5-oxo-pentyloxy) -4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 4- [1- [2- (2-dimethylamino-ethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
 5 hydrochloride salt;
 4- [1- [3-methyl-2- (2-morpholin-4-yl-ethoxy) -4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
 hydrochloride salt;
 4- [1- [3-methyl-2- (2-piperidin-1-yl-ethoxy) -4-propoxy-phenyl] -
 10 methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
 hydrochloride salt;
 4- [1- [2- (3-dimethylamino-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
 hydrochloride salt;
 15 4- [1- [2- (2,2-dimethyl- [1,3]dioxolan-4-ylmethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 4- [1- [2- ((4R)-2,2-dimethyl- [1,3]dioxolan-4-ylmethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 4- [1- [2- ((4S)-2,2-dimethyl- [1,3]dioxolan-4-ylmethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 20 4- [1- [2- ((2R)-3-ethoxycarbonyl-2-hydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 4- [1- [2- ((2R)-3-carboxy-2-hydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 25 4- [1- [2- ((2S)-3-carboxy-2-hydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 4- [1- [2- ((4R,5S)-4-carboxy-2,2-dimethyl- [1,3]dioxolan-5-ylmethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 30 1-phenyl-4- [1- (5-propoxy-1,2,3,4-tetrahydro-isoquinolin-8-yl) -methylidene] -pyrazolidine-3,5-dione and its formiate salt;
 4- [1- [2- (2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 4- [1- [2- ((2S)-2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 35

4- [1- [2- ((2R)-2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4- [1- [2- ((2S,3R)-2,3-dihydroxy-3-ethoxycarbonyl-propoxy) -3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-
 5 3,5-dione;
 4- [1- [2- ((2S,3R)-3-carboxy-2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 ethyl 4-(4-benzylidene-3,5-dioxo-pyrazolidin-1-yl)-benzoate;
 ethyl 4-[4-(2-hydroxy-3-methoxy-benzylidene)-3,5-dioxo-
 10 pyrazolidin-1-yl]-benzoate;
 ethyl 4-[4-(2-methoxy-benzylidene)-3,5-dioxo-pyrazolidin-1-yl]-benzoate;
 ethyl 4-[4-(3-methoxy-benzylidene)-3,5-dioxo-pyrazolidin-1-yl]-benzoate;
 15 ethyl 4-(3,5-dioxo-4-pyridin-3-ylmethylene-pyrazolidin-1-yl)-benzoate;
 ethyl 4-(3,5-dioxo-4-thiophen-3-ylmethylene-pyrazolidin-1-yl)-benzoate;
 ethyl 4-[4-(2,3-dimethyl-4-propoxy-benzylidene)-3,5-dioxo-
 20 pyrazolidin-1-yl]-benzoate;
 4-(3-methyl-4-propoxy-benzylidene)-1-pyridin-2-yl-pyrazolidine-3,5-dione;
 4-(2,3-dimethyl-4-propoxy-benzylidene)-1-pyridin-2-yl-pyrazolidine-3,5-dione;
 25 1-(4-bromo-phenyl)-4-(2,3-dimethyl-4-propoxy-benzylidene)-pyrazolidine-3,5-dione;
 4-(2,3-dimethyl-4-propoxy-benzylidene)-1-(4-methoxy-phenyl)-pyrazolidine-3,5-dione;
 4-[4-(2,3-dimethyl-4-propoxy-benzylidene)-3,5-dioxo-
 30 pyrazolidin-1-yl]-benzonitrile;
 4-(2,3-dimethyl-4-propoxy-benzylidene)-1-(4-fluoro-phenyl)-pyrazolidine-3,5-dione;
 4-(2,3-dimethyl-4-propoxy-benzylidene)-1-(4-methyl-phenyl)-pyrazolidine-3,5-dione;

- 1- (2-chloro-phenyl) -4- (2,3-dimethyl-4-propoxy-benzylidene) -
pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1- (2-methyl-phenyl) -
pyrazolidine-3,5-dione;
5 4- (2,3-dimethyl-4-propoxy-benzylidene) -pyrazolidine-3,5-dione;
4- (4-cyclopentyloxy-2,3-dimethyl-benzylidene) -pyrazolidine-3,5-
dione;
4- (4-propoxy-5,6,7,8-tetrahydro-naphthalen-1-ylmethylene) -
pyrazolidine-3,5-dione;
10 4- (2,3-dimethyl-4-pent-1-ynyl-benzylidene) -pyrazolidine-3,5-
dione;
4- (2,3-dimethyl-4-pentyl-benzylidene) -pyrazolidine-3,5-dione;
4- (4-propoxy-naphthalen-1-ylmethylene) -pyrazolidine-3,5-dione;
4- (7-propoxy-indan-4-ylmethylene) -pyrazolidine-3,5-dione;
15 4- (2-methoxy-3-methyl-4-propoxy-benzylidene) -pyrazolidine-3,5-
dione;
4- (3-methyl-2,4-dipropoxy-benzylidene) -pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1-methyl-pyrazolidine-
3,5-dione;
20 4- (2,3-dimethyl-4-propoxy-benzylidene) -1-pyridin-4-yl-
pyrazolidine-3,5-dione;
1-acetyl-4- [1- (2,3-dimethyl-4-propoxy-phenyl) -methylidene] -
pyrazolidine-3,5-dione.

25 18. Compounds of the general formula



(III')

including their geometric isomers and tautomers and mixtures thereof as well as their salts, esters and prodrugs mentioned in claim 1, wherein

R₁ is as defined in any one of claims 1, 2 and 4 to 6;

5 R₃' is alkyl, alkenyl, alkynyl, alkoxy, hydroxyalkoxy, alkoxyalkoxy, alkenyloxy, cycloalkoxy, cycloalkylalkoxy or alkylsulfonyloxy;

R₄' is halogen, hydroxy, alkyl or alkoxy; and

R₅' is halogen, hydroxy, alkyl, alkoxy, alkoxyalkoxy, hydroxyalkoxy, dihydroxyalkoxy, alkanoyloxyalkoxy, carboxyalkoxy, carboxy-hydroxyalkoxy, carboxy-dihydroxyalkoxy, alkoxycarbonylalkoxy, alkoxycarbonyl-hydroxyalkoxy, alkoxycarbonyl-dihydroxyalkoxy, carbamoylalkoxy, N-alkylcarbamoylalkoxy, N,N-dialkylaminolalkoxy, morpholin-4-ylalkoxy, piperidin-1-ylalkoxy, morpholin-4-ylcarbonylalkoxy, 15 2,2-dialkyl[1,3]dioxolan-4-ylalkoxy or 2,2-dialkyl-4-carboxy[1,3]dioxolan-5-ylalkoxy; or

R₄' and R₅', together with the phenyl ring to which they are attached, form a fused, optionally substituted carbocyclic or heterocyclic ring system;

20 with the proviso that if R₁ is phenyl and R₃' is methoxy, R₄' and R₅' may not both be methoxy.

19. compounds according to claim 18 wherein R₁ is other than alkanoyl;

R₃' is alkyl, alkenyl, alkynyl, alkoxy, hydroxyalkoxy, alkoxyalkoxy, alkenyloxy, cycloalkoxy or cycloalkylalkoxy; and R₄' and R₅', each independently of the other, are halogen, hydroxy, alkyl or alkoxy; or

30 R₄' und R₅', together with the phenyl ring to which they are attached, form a fused, optionally substituted carbocyclic or heterocyclic ring system.

20. Compounds according to claim 18 or 19 wherein R₃' is alkyl, alkenyl, alkynyl, alkoxy, cycloalkoxy, cycloalkylalkoxy,

hydroxyalkoxy or alkoxyalkoxy, R₄' is halogen, alkyl or alkoxy and R₅' is alkyl or alkoxy.

21. Compounds according to claim 20 wherein R₃' is methyl,
 5 ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *tert*-butyl, pentyl, hexyl, but-1-enyl, pent-1-enyl, but-1-ynyl, pent-1-ynyl, methoxy, ethoxy, propoxy, butoxy, *iso*-butoxy, 3-methyl-butoxy, pentyloxy, cyclopentyloxy, hexyloxy, cyclopropylmethoxy, cyclobutylmethoxy, 2-hydroxy-ethoxy, 2-
 10 methoxy-ethoxy, R₄' is chloro, bromo, methyl or methoxy, and R₅' is methyl or methoxy.

22. Compounds according to claim 18 or 19 wherein R₃' is alkoxy and R₄' and R₅' together with the phenyl ring to which
 15 they are attached, form an optionally substituted naphthalene, tetrahydronaphthalene, indane, 1*H*-indene, isoquinoline, dihydro-benzo[1,4]dioxine or benzo[1,3]dioxole ring system.

23. Compounds according to claim 22 wherein R₃' is propoxy
 20 and R₄' and R₅' together with the phenyl ring to which they are attached, form a naphthalene-1-yl, indan-4-yl, isoquinolin-5-yl, isoquinolin-8-yl, 1,2,3,4-tetrahydroisoquinoli-8-yl, 2-alkoxycarbonyl-1,2,3,4-tetrahydroisoquinoli-8-yl or 5,6,7,8-tetrahydronaphthalen-1-yl moiety.

25
 24. Compounds according to claim 18 or 19 wherein R₃' is methoxy, propoxy, cyclopentyloxy, pent-1-ynyl or ethanesulfonyloxy;
 R₄' is methyl;
 30 R₅' is hydroxy, methyl, pentyl, methoxy, propoxy, 2-methoxyethoxy, 2-hydroxyethoxy, 3-hydroxypropoxy, 4-hydroxybutoxy, 2,3-dihydroxypropoxy, 4-acetoxybutoxy, carboxymethoxy, 3-carboxypropoxy, 4-carboxybutoxy, 3-carboxy-2-hydroxypropoxy, 3-carboxy-2,3-dihydroxypropoxy,
 35 ethoxycarbonylmethoxy, 3-ethoxycarbonylpropoxy, 4-

ethoxycarbonylbutoxy, 3-ethoxycarbonyl-2-hydroxypropoxy, 3-ethoxycarbonyl-2,3-dihydroxypropoxy, carbamoylmethoxy 3-*N*-ethylcarbamoylpropoxy, 4-*N*-ethylcarbamoylbutoxy, 2-*N,N*-dimethylaminoethoxy, 3-*N,N*-dimethylaminopropoxy, 2-(morpholin-4-yl)-ethoxy, 2-(piperidin-1-yl)-ethoxy, 3-(morpholin-4-yl)-carbonylpropoxy, 4-(morpholin-4-yl)-carbonylbutoxy, 2,2-dimethyl[1,3]dioxolan-4-ylmethoxy or 2,2-dimethyl-4-carboxy[1,3]dioxolan-5-ylmethoxy; or

R_4' and R_5' , together with the phenyl ring to which they are attached, form a naphthalen-1-yl, 5,6,7,8-tetrahydronaphthalen-1-yl, indan-4-yl, 1,2,3,4,-tetraisoquinolin-8-yl or 2-*tert*-butoxycarbonyl-1,2,3,4,-tetraisoquinolin-8-yl moiety.

25. A compound selected from

4-[1-[2-((2*S*)-3-carboxy-2-hydroxy-propoxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4-[1-[2-((2*R*)-3-carboxy-2-hydroxy-propoxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4-[1-[2-(3-carboxy-propoxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4-[1-[2-(4-carboxy-butyloxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4-[1-[2-(2,3-dihydroxy-propoxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4-[1-[2-((2*S*)-2,3-dihydroxy-propoxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4-[1-[2-((2*R*)-2,3-dihydroxy-propoxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4-[1-[2-((2*S*,3*R*)-3-carboxy-2,3-dihydroxy-propoxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4-[1-[2-(5-ethylamino-5-oxo-pentyloxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
 4-[1-[2-(3-hydroxy-propoxy)-3-methyl-4-propoxy-phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;

4- [1- [2- (4-hydroxy-butoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (2-hydroxy-ethoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
5 4- [1- [2- ((2*S*,3*R*) -2,3-dihydroxy-3-ethoxycarbonyl-propoxy) -3-
methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-
3,5-dione;
4- [1- [2- (ethoxycarbonyl-methoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione; and
10 4- [1- [3-methyl-2- (4-morpholin-4-yl-4-oxo-butoxy) -4-propoxy-
phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione.

26. A compound selected from
1-phenyl-4- [1- (5-propoxy-isoquinolin-8-yl) -methylidene] -
15 pyrazolidine-3,5-dione;
4- [1- [2- (4-ethylamino-4-oxo-butoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (4-ethoxycarbonyl-butoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
20 4- [1- [2- ((2*R*) -3-ethoxycarbonyl-2-hydroxy-propoxy) -3-methyl-4-
propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (4-acetoxy-butoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (3-ethoxycarbonyl-propoxy) -3-methyl-4-propoxy-phenyl] -
25 methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (2-methoxy-ethoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [3-methyl-2- (5-morpholin-4-yl-5-oxo-pentyloxy) -4-propoxy-
phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
30 1-phenyl-4- [1- (8-propoxy-isoquinolin-5-yl) -methylidene] -
pyrazolidine-3,5-dione;
4- [1- [2- (2-amino-2-oxo-ethyloxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;

4- [1- [3-methyl-2- (2-morpholin-4-yl-ethoxy) -4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;

4- [1- [2- (2,2-dimethyl- [1,3]dioxolan-4-ylmethoxy) -3-methyl-4-
propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1-phenyl-pyrazolidine-
3,5-dione;

4- [1- [2- ((4*R*,5*S*) -4-carboxy-2,2-dimethyl- [1,3]dioxolan-5-
ylmethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-
pyrazolidine-3,5-dione;

4- [1- [2- ((4*R*) -2,2-dimethyl- [1,3]dioxolan-4-ylmethoxy) -3-methyl-
4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;

4- [1- [2- (3-dimethylamino-propoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione and its

hydrochloride salt;

1-phenyl-4- [1- (7-propoxy-indan-4-yl) -methylidene] -pyrazolidine-
3,5-dione;

1-phenyl-4- (4-propoxy-5,6,7,8-tetrahydro-naphthalen-1-
ylmethylene) -pyrazolidine-3,5-dione;

1-phenyl-4- [1- (5-propoxy-1,2,3,4-tetrahydro-isoquinolin-8-yl) -
methylidene] -pyrazolidine-3,5-dione and its formiate salt;

4- [1- [2- (2-dimethylamino-ethoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;

4- [1- [2- (carboxy-methoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;

4- [1- [2- ((4*S*) -2,2-dimethyl- [1,3]dioxolan-4-ylmethoxy) -3-methyl-
4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;

4- (3-methyl-2,4-dipropoxy-benzylidene) -pyrazolidine-3,5-dione;

4- [1- (3-methyl-2,4-dipropoxy-phenyl) -methylidene] -1-phenyl-
pyrazolidine-3,5-dione;

4- (4-propoxy-5,6,7,8-tetrahydro-naphthalen-1-ylmethylene) -
pyrazolidine-3,5-dione;

4- [1- (2-methoxy-3-methyl-4-propoxy-phenyl) -methylidene] -1-
phenyl-pyrazolidine-3,5-dione;

4- (2-methoxy-3-methyl-4-propoxy-benzylidene) -pyrazolidine-3,5-dione;
 4- (7-propoxy-indan-4-ylmethylene) -pyrazolidine-3,5-dione;
 4- [1- (2-tert-butoxycarbonyl-5-propoxy-1,2,3,4-tetrahydro-
 5 isoquinolin-8-yl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
 and
 4- (2,3-dimethyl-4-propoxy-benzylidene) -1- (4-fluoro-phenyl) -
 pyrazolidine-3,5-dione.

10 27. A compound selected from
 1-phenyl-4- (4-propoxy-naphthalen-1-ylmethylene) -pyrazolidine-
 3,5-dione;
 4- [1- [3-methyl-2- (2-piperidin-1-yl-ethoxy) -4-propoxy-phenyl] -
 methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
 15 hydrochloride salt;
 4- (2,4-dimethoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-
 3,5-dione;
 4- (2,3-dimethyl-4-ethanesulfonyloxy-benzylidene) -1-phenyl-
 pyrazolidine-3,5-dione;
 20 4- (2,3-dimethyl-4-propoxy-benzylidene) -1-pyridin-2-yl-
 pyrazolidine-3,5-dione;
 4- (2,3-dimethyl-4-propoxy-benzylidene) -pyrazolidine-3,5-dione;
 4- (2,3-dimethyl-4-methoxybenzylidene) -1-phenyl-pyrazolidine-
 3,5-dione;
 25 4- (2,3-dimethyl-4-pent-1-ynyl-benzylidene) -1-phenyl-
 pyrazolidine-3,5-dione;
 4- (4-propoxy-naphthalen-1-ylmethylene) -pyrazolidine-3,5-dione;
 4- [4- (2,3-dimethyl-4-propoxy-benzylidene) -3,5-dioxo-
 pyrazolidin-1-yl] -benzonitrile;
 30 4- [1- (2-hydroxy-3-methyl-4-propoxy-phenyl) -methylidene] -1-
 phenyl-pyrazolidine-3,5-dione;
 4- (2,3-dimethyl-4-pentyl-benzylidene) -1-phenyl-pyrazolidine-
 3,5-dione;
 4- (2,3-dimethyl-4-pentyl-benzylidene) -pyrazolidine-3,5-dione;

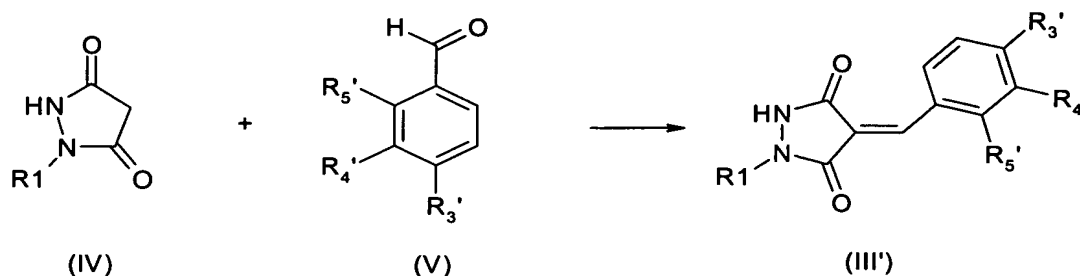
1- (2-chloro-phenyl) -4- (2,3-dimethyl-4-propoxy-benzylidene) -
pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-pent-1-ynyl-benzylidene) -pyrazolidine-3,5-
dione;
5 4- (2,3-dimethyl-4-propoxy-benzylidene) -1-pyridin-4-yl-
pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1- (4-methyl-phenyl) -
pyrazolidine-3,5-dione;
4- (4-cyclopentyloxy-2,3-dimethyl-benzylidene) -pyrazolidine-3,5-
10 dione;
4- (4-cyclopentyloxy-2,3-dimethyl-benzylidene) -1-phenyl-
pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1- (4-methoxy-phenyl) -
pyrazolidine-3,5-dione;
15 1- (4-bromo-phenyl) -4- (2,3-dimethyl-4-propoxy-benzylidene) -
pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1- (2-methyl-phenyl) -
pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1-methyl-pyrazolidine-
20 3,5-dione;
ethyl 4- [4- (2,3-dimethyl-4-propoxy-benzylidene) -3,5-dioxo-
pyrazolidin-1-yl] -benzoate; and
1-acetyl-4- [1- (2,3-dimethyl-4-propoxy-phenyl) -methylenidene] -
pyrazolidine-3,5-dione.

25
28. Compounds according to any one of claims 18 to 27 for
use as pharmaceutically active ingredients.

29. A pharmaceutical composition containing a compound
30 according to any one of claims 18 to 27 and a pharmaceutically
inert carrier.

30. The use according to claim 1 or 3 of compounds
according to any one of claims 18 to 23.

31. A process for the manufacture of compounds according to any one of claims 18 to 27 which comprises condensing a pyrazolidinedione of the general formula (IV), as shown in the following reaction scheme wherein R_1 , R_3' , R_4' , and R_5' are as defined in claim 18,



with an aldehyde of the above general formula (V), any reactive group which may be present in the compounds of formulae IV and V being appropriately protected, and, if necessary, splitting off from the condensation product any protecting group(s) which may be undesired.

32. A pyrazolidinedione of the general formula (IV) as indicated in claim 31, selected from:

- 1-pyridin-2-yl-pyrazolidine-3,5-dione;
- 4-(3,5-dioxo-pyrazolidin-1-yl)-benzonitrile; and
- 1-pyridin-4-yl-pyrazolidine-3,5-dione.

33. An aldehyde of the general formula (V) as indicated in claim 31 selected from:

- 4-cyclopentyloxy-2,3-dimethyl-benzaldehyde;
- 4-propoxy-5,6,7,8-tetrahydro-naphthalene-1-carbaldehyde;
- 2,3-dimethyl-4-pent-1-ynyl-benzaldehyde;
- 2,3-dimethyl-4-pentyl-benzaldehyde;
- 7-propoxy-indan-4-carbaldehyde;
- 5-propoxy-isoquinoline-8-carbaldehyde;
- 8-propoxy-isoquinoline-5-carbaldehyde;

- 2-tert-butyloxycarbonyl-8-formyl-5-propoxy-1,2,3,4-tetrahydroisoquinoline;
 2,3-dimethyl-4-ethanesulfonyloxybenzaldehyde;
 2-hydroxy-3-methyl-4-propoxy-benzaldehyde;
 5 2-methoxy-3-methyl-4-propoxy-benzaldehyde;
 2-(2-methoxy-ethoxy)-3-methyl-4-propoxy-benzaldehyde;
 2-(2-hydroxy-ethoxy)-3-methyl-4-propoxy-benzaldehyde;
 2-(3-hydroxy-propoxy)-3-methyl-4-propoxy-benzaldehyde;
 2-(4-acetoxy-butoxy)-3-methyl-4-propoxy-benzaldehyde;
 10 2-(4-hydroxy-butoxy)-3-methyl-4-propoxy-benzaldehyde;
 ethyl (6-formyl-2-methyl-3-propoxy-phenoxy)-acetate;
 (6-formyl-2-methyl-3-propoxy-phenoxy)-acetic acid;
 2-(6-formyl-2-methyl-3-propoxy-phenoxy)-acetamide;
 ethyl 4-(6-formyl-2-methyl-3-propoxy-phenoxy)-butanoate;
 15 4-(6-formyl-2-methyl-3-propoxy-phenoxy)-butanoic acid;
 4-(6-formyl-2-methyl-3-propoxy-phenoxy)-butanoic acid
 ethylamide;
 3-methyl-2-(4-morpholin-4-yl-4-oxo-butoxy)-4-propoxy-benzaldehyde;
 20 ethyl 5-(6-formyl-2-methyl-3-propoxy-phenoxy)-pentanoate;
 5-(6-formyl-2-methyl-3-propoxy-phenoxy)-pentanoic acid;
 5-(6-formyl-2-methyl-3-propoxy-phenoxy)-pentanoic acid
 ethylamide;
 3-methyl-2-(5-morpholin-4-yl-5-oxo-pentyloxy)-4-propoxy-
 25 benzaldehyde;
 2-(2-dimethylamino-ethoxy)-3-methyl-4-propoxy-benzaldehyde and
 its hydrochloride salt;
 3-methyl-2-(2-morpholin-4-yl-ethoxy)-4-propoxy-benzaldehyde and
 its hydrochloride salt;
 30 3-methyl-2-(2-piperidin-1-yl-ethoxy)-4-propoxy-benzaldehyde and
 its hydrochloride salt;
 2-(3-dimethylamino-propoxy)-3-methyl-4-propoxy-benzaldehyde and
 its hydrochloride salt;
 2-(2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-3-methyl-4-propoxy-
 35 benzaldehyde;

2-((4R)-2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-3-methyl-4-propoxy-benzaldehyde;

2-((4S)-2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-3-methyl-4-propoxy-benzaldehyde;

5 ethyl (3R)-4-(6-formyl-2-methyl-3-propoxy-phenoxy)-3-hydroxy-butanoate;

(3R)-4-(6-formyl-2-methyl-3-propoxy-phenoxy)-3-hydroxy-butanoic acid;

ethyl (3S)-4-(6-formyl-2-methyl-3-propoxy-phenoxy)-3-hydroxy-butanoate;

10

(3S)-4-(6-formyl-2-methyl-3-propoxy-phenoxy)-3-hydroxy-butanoic acid;

methyl (4R,5S)-5-(6-formyl-2-methyl-3-propoxy-phenoxy-methyl)-2,2-dimethyl-[1,3]dioxolane-4-carboxylate;

15 (4R,5S)-5-(6-formyl-2-methyl-3-propoxy-phenoxy-methyl)-2,2-dimethyl-[1,3]dioxolane-4-carboxylic acid.